

SUPPLEMENTAL AMENDMENT UNDER 37 C.F.R. § 1.111  
U.S. Appl. No. 09/870,676

wherein m denotes an integer from 1 to 10, R<sup>6</sup> represents a hydrogen atom or a saturated or unsaturated hydrocarbyl group or a hetero ring, which may be substituted with (a) a hydroxy group or a halogen atom, or (b) at least one group selected from a carbamoyl group, a methylmercapto group, an alkyl (C1-C3) dithio group, of which alkyl is substituted with a protected amino and carboxyl groups, and an amino, mercapto, guanidyl, carboxyl, hydroxy or imidazolyl group, R<sup>12</sup> represents an amino-protecting group or a group of formula: R<sup>13</sup>CO-, wherein R<sup>13</sup> represents a saturated or unsaturated hydrocarbyl group or a hetero ring, which may be substituted with (c) a hydroxy or a halogen atom, or (e) a group of formula: R<sup>14</sup>R<sup>15</sup>N- and optionally further with at least one group selected from a carbamoyl group, a methylmercapto group, alkyl (C1-C3) dithio group, of which alkyl is substituted with a protected amino and carboxyl groups, an amino, mercapto, guanidyl, carboxyl, hydroxy, or imidazolyl group, wherein R<sup>14</sup> is an amino-protecting group, R<sup>15</sup> represents a hydrogen atom or an amino-protecting group, and R<sup>14</sup> and R<sup>15</sup> may independently form an alkyleneimine group, a 4-pyrimidinone-3-yl group or the like, provided that said amino, mercapto, guanidyl, carboxyl, hydroxy and imidazolyl groups which may be present in R<sup>12</sup> and R<sup>6</sup> or substituent groups contained therein are in a protected form, and

an organic base to a solution of a carboxylic acid activating agent of formula (3):



wherein R<sup>2</sup> denotes

a chain, branched or cyclic (C1-C6) alkyl group, which may be substituted with a halogen atom,

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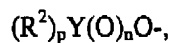
a phenyl which may be substituted with a halogen or (C1-C3) alkyl group,

a chain or cyclic (C1-C6) alkoxy group, or

a phenyl group which may be substituted with a halogen or C1-C3 alkyl group,

Y denotes a carbon atom, a phosphorus atom, or a sulfur atom,

*p*  
X denotes a fluorine atom, a chlorine atom, a bromine atom, an iodine atom, a cyano group or a group of formula:



wherein  $R^2$  is the same as defined above, n and p are an integer of 1 or 2; and when Y is a carbon atom, n=1 and p=1, when Y is a phosphorous atom, n=1 and p=2, and when Y is sulfur atom, n=2 and p=1 and  $R^2$  denotes an optionally substituted alkyl or aryl group, provided that said amino, mercapto, guanidyl, carboxyl, hydroxy and imidazolyl groups which may be present in  $R^2$  and substituent groups contained therein are in a protected form.

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